

=> fil reg

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STRUCTURE FILE UPDATES: 25 APR 2001 HIGHEST RN 332836-91-6
DICTIONARY FILE UPDATES: 25 APR 2001 HIGHEST RN 332836-91-6

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for details.

=> d ide can ll

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN 49562-28-9 REGISTRY
CN Propanoic acid, 2-[4-(4-chlorobenzoyl)phenoxy]-2-methyl-, 1-methylethyl
ester (9CI) (CA INDEX NAME)

OTHER NAMES:

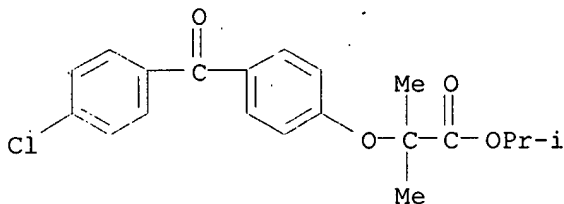
CN **Fenofibrate**
CN Isopropyl 2-[p-(p-chlorobenzoyl)phenoxy]-2-methylpropionate
CN LF 178
CN Lipanthyl
CN Procetofen
FS 3D CONCORD
MF C20 H21 Cl O4
CI COM

LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS,
BIOTECHNO, CA, CANCERLIT, CAPLUS, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM,
DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IFICDB,
IFIPAT, IFIUDB, IMSDIRECTORY, IPA, MEDLINE, MRCK*, NIOSHTIC, PHAR,
PROMT, RTECS*, TOXLINE, TOXLIT, ULIDAT, USAN, USPATFULL

(*File contains numerically searchable property data)

Other Sources: EINECS**, WHO

(**Enter CHEMLIST File for up-to-date regulatory information)



400 REFERENCES IN FILE CA (1967 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
403 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:236791
REFERENCE 2: 134:231814
REFERENCE 3: 134:204363
REFERENCE 4: 134:202660
REFERENCE 5: 134:136697
REFERENCE 6: 134:110468

Point of Contact:
Jan Delaval
Librarian-Physical Sciences
CM1 1E01 Tel: 308-4498

REFERENCE 7: 134:105878
REFERENCE 8: 134:105846
REFERENCE 9: 134:76381
REFERENCE 10: 134:65728

=> d his

(FILE 'HOME' ENTERED AT 06:23:06 ON 27 APR 2001)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 06:23:19 ON 27 APR 2001
E FENOFIBRATE/CN

L1 1 S E3
SEL RN
L2 4 S E1/CRN

FILE 'HCAOLD' ENTERED AT 06:24:57 ON 27 APR 2001
0 S L1

FILE 'HCAPLUS' ENTERED AT 06:25:01 ON 27 APR 2001

L4 403 S L1
L5 476 S FENOFIBRATE OR LF178 OR LF 178 OR LIPANTHYL OR PROCETOFEEN#
E PATEL M/AU
L7 247 S E3,E26
L8 66 S E50,E61-E66
E CHEN F/AU
L9 205 S E3,E16,E17
E CHEN FENG/AU
L10 354 S E3,E8
E E PATEL M/AU
E PATEL M/AU
L11 2 S E77
L12 2 S L*** AND L7-L11
E LIPOCIN/PA,CS
L13 4 S E5-E8
L14 2 S L13 AND L***
L15 2 S L12,L14

FILE 'REGISTRY' ENTERED AT 06:30:22 ON 27 APR 2001

FILE 'HCAPLUS' ENTERED AT 07:20:31 ON 27 APR 2001

L16 20422 S VITAMIN "E"
L17 402 S (ALPHA OR BETA OR GAMMA OR DELTA OR EPSILON) () TOCOTRIENOL
L18 16055 S (ALPHA OR BETA OR GAMMA OR DELTA OR EPSILON) () TOCOPHEROL
L19 1253 S (DELTA OR EPSILON) () TOCOPHEROL
L20 23710 S TOCOTRIENOL OR TOCOPHER?
L21 1539 S ALPHA TOCOPHEROL ACETATE
L22 26 S ALPHA TOCOPHEROL ACID SUCCINATE
L23 4 S ALPHA TOCOPHEROL (L)SUCCINATE (L) PEG
L24 37 S ALPHA TOCOPHEROL (L)SUCCINATE (L) (POLYETHYLENEGLYCOL OR POLY
L25 81135 S DIMETHYLFORMAMIDE OR (DIMETHYL OR DI METHYL OR DIME) () FORMAMI
L26 92 S ACETIN
L27 194 S DIACETIN
L28 234 S ETHYL CAPRATE OR ETHYLCPARATE
L29 10731 S PROPYLENE CARBONATE
L30 0 S PROPYLENEGLYCOL MONOCAPRYLATE
L31 0 S PROPYLENEGLYCOLMONOCAPRYLATE
L32 27 S PROPYLENE GLYCOL MONOCAPRYLATE
L33 64 S PROPYLENE GLYCOL DICAPRYLATE
L34 46 S PROPYLENE GLYCOL DICAPRATE
L35 20 S L33 AND L34

L36 26 S (PROPYLENEGLYCOL OR PROPYLENE GLYCOL) (L) DICAPRATE (L) DICAPRYLA
L37 23 S L36 NOT L35

FILE 'REGISTRY' ENTERED AT 07:35:09 ON 27 APR 2001

L38 18 S 1406-18-4 OR 490-23-3 OR 59-02-9 OR 148-03-8 OR 7616-22-0 OR
L39 12 S 502-44-3 OR 3068-88-0 OR 542-28-9 OR 68-12-2 OR 127-19-5 OR 1
L40 5 S 50-21-5 OR 56-81-5 OR 26446-35-5 OR 25395-31-7 OR 102-76-1
L41 5 S 111-62-6 OR 544-35-5 OR 106-32-1 OR 110-38-3 OR 110-27-0 OR 1
L42 7 S 25618-55-7 OR 56-81-5 OR 25322-69-4 OR 57-55-6 OR 1331-12-0 O
L43 5 S 31565-12-5 OR 7384-98-7 OR 53824-77-4 OR 58748-27-9 OR 77466-
L44 2 S 107-21-1 OR 25322-68-3

FILE 'HCAPLUS' ENTERED AT 07:47:54 ON 27 APR 2001

L45 34 S L38-L44 AND L***
L46 40 S L*** AND (PHOSPHOLIPID OR PHOSPHATIDYLCHOLINE OR PHOSPHATIDYLET
L47 3 S L*** AND (LYSOPHOSPHATIDYLCHOLINE OR LYSOPHOSPHATIDYLETHANOLAMI
L48 4 S L*** AND (LACTONE OR 1L6-L37 OR TRIAKYLCITRATE OR TRIAKYL CITR
L49 2 S L*** AND (TRIETHYLCITRATE OR ACETYLTRIETHYLCITRATE OR TRIBUTYLC
L50 4 S L*** AND (CAPROLACTONE OR VALEROLACTONE OR BUTYROLACTONE OR DIM
L51 2 S L*** AND (ALKYLPYRROLIDONE OR HYDROXYALKYLPYRROLIDONE OR ALKYL
L52 3 S L*** AND (METHYLPYRROLIDONE OR ETHYLPYRROLIDONE)
L53 494 S L4, L5
L54 15 S L53 AND (GLYCEROL OR GLYERCIN# OR ACETIN OR DIACETINE OR TRIA
L55 74 S L53 AND (GLYCERIDE# OR MONOGLYCERIDE# OR DIGLYCERIDE#)/CW
L56 40 S L53 AND FATTY/CW
L57 4 S L53 AND (ETHYLOLEATE OR ETHYLLINOLEATE OR ETHYLCAPRYLATE OR E
L58 2 S L53 AND (ISOPROPYLMYRISTATE OR ISOPROPYLPALMITATE OR (ISOPROP
L59 8 S L53 AND TRIGLYCERIDE# /CW
L60 8 S L53 AND (PROPYLENEGLYCOL OR PROPYLENE GLYCOL OR POLYPROPYLENE
L61 15 S L53 AND L16-L37
L62 2 S L53 AND (PROPYLENEGLYCOL OR PROPYLENE GLYCOL) () (MONOACETATE O
L63 1 S L53 AND (PROPYLENEGLYCOL OR PROPYLENE GLYCOL) () MONOCAPRYLATE
L64 28449 S DIETHYLENEGLYCOL OR DIETHYLENE GLYCOL

FILE 'REGISTRY' ENTERED AT 08:07:24 ON 27 APR 2001

L65 1 S 111-46-6

FILE 'HCAPLUS' ENTERED AT 08:07:33 ON 27 APR 2001

L66 12 S L53 AND (L65 OR L64 OR POLYETHYLENEGLYCOL OR POLY() (ETHYLENEG
L67 20 S L53 AND (PPG OR PEG OR POLYOXYALKYLENE OR POLYOXYETHYLENE OR
L68 27 S L53 AND (FAT# OR OIL#)/CW
L69 4 S L53 AND ESTER# /CW
L70 148 S L46-L52, L54-L63, L66-L69
L71 31 S L70 AND L45
L72 0 S L70 AND L65

FILE 'REGISTRY' ENTERED AT 08:12:31 ON 27 APR 2001

L73 13 S L38 NOT (77-92-9 OR 77-93-0 OR 77-89-4 OR 77-94-1 OR 77-90-7)
L74 402 S VITAMIN "E" OR TOCOPHER? OR TOCOTRIEN?
L75 389 S L74 NOT L73
L76 355 S L75 NOT SQL/FA
L77 352 S L76 NOT (LABELED OR ION OR (D OR T)/ELS OR 11C# OR 13C# OR 14
L78 282 S L77 NOT MXS/CI

FILE 'HCAPLUS' ENTERED AT 08:14:26 ON 27 APR 2001

L79 26836 S L73, L78
L80 275 S L79 AND HYDROPHOB?
L81 301 S L16-L24 AND HYDROPHOB?
L82 376 S L80, L81
L83 71 S L82 AND 63/SC
E TOCOPHER/CT
E E5+ALL
L84 24992 S E8+NT
L85 206 S L84 AND HYDROPHOB?
L86 54 S L85 AND 63/SC
L87 71 S L83, L86

L88 19 S L87 AND HYDROPHOB?/TI
L89 52 S L87 AND L79
L90 46 S L89 AND L84
L91 54 S L88,L90
L92 30 S L91 AND (DIETARY OR DRUG DELIVERY OR MICROEMULS? OR AEROSOL?
L93 2 S L15 AND L70
L94 2 S L71 AND L92,L93
L95 24 S L71 AND 63/SC,SX
L96 71 S L70 AND L5/TI
L97 67 S L96 AND L4
L98 4 S L96 NOT L97
L99 1 S L98 AND SYNERG?/TI
L100 5 S L97 AND L95
L101 37 S L92,L93,L99,L100
L102 17 S L95 NOT L101
L103 15 S L102 NOT (FIBRATE OR DIOXIDE)/TI
L104 62 S L97 NOT L101,L103
L105 4 S L104 AND 63/SC
L106 3 S L105 NOT PLASMA/TI
L107 55 S L101,L103,L106
L108 59 S L104 NOT L107

FILE 'REGISTRY' ENTERED AT 08:36:15 ON 27 APR 2001

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 08:36:26 ON 27 APR 2001

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FILE COVERS 1947 - 27 Apr 2001 VOL 134 ISS 19

FILE LAST UPDATED: 26 Apr 2001 (20010426/ED)

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=> d bib abs hitrn tot 1107

L107 ANSWER 1 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2001:228688 HCAPLUS

DN 134:271250

TI Surface modified particulate pharmaceutical compositions containing surfactants

IN Pace, Gary W.; Mishra, Awadhesh K.; Snow, Robert A.

PA RTP Pharma Inc., USA

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001021154	A2	20010329	WO 2000-US25880	20000921
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-154964	P	19990921		
AB	This invention disclosure relates to compns. for the delivery of stable surface modified sub-micron and micron sized particles of water-insol. drugs from a non-aq. medium that self-disperses on exposure to an aq. environment. Thus, compns. of cyclosporine that self-disperse into surface-modified micron- or sub-micron-sized particle suspensions contained cyclosporine 50, Epax 4510-TG 150, vitamin E -TPGS 45, Tween 80 405, and EtOH 150 mg.				
IT	77-92-9, Citric acid, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aq. medium contg.; surface modified particulate pharmaceutical compns. contg. surfactants)				
IT	56-81-5, Glycerol, biological studies 57-55-6D , Propylene glycol, fatty acid esters 77-93-0 , Triethyl citrate 102-76-1, Triacetin 108-32-7, Propylene carbonate 1406-18-4, Vitamin E 7384-98-7, Propylene glycol dicaprylate 9002-96-4 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, fatty ethers or esters 25395-31-7, Diacetin 26446-35-5, Monoacetin 49562-28-9, Fenofibrate 77466-09-2, Miglyol 840 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (surface modified particulate pharmaceutical compns. contg. surfactants)				

L107 ANSWER 2 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2001:31306 HCAPLUS

DN 134:105846

TI Clear aqueous dispersions of triglycerides and surfactants for delivery of drugs and nutrients

IN Chen, Feng-Jing; Patel, Mahesh V.

PA Lipocine, Inc., USA

SO PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001001960	A1	20010111	WO 2000-US15133	20000602
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-345615	A	19990630		

AB The present invention relates to drug and nutrient delivery systems, and in particular to pharmaceutical compns. and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compns. of the present invention include a triglyceride and a carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the triglyceride and surfactants. An optional therapeutic agent can be incorporated into the compn., or can be co-administered with the compn. The invention also provides methods of enhancing triglyceride soly. and methods of treatment with therapeutic agents using these compns. Several formulations were presented of compns. that can be prepd. according to the present invention using a variety of therapeutic agents. Examples of aq. dispersions include: (1) Cremophor RH-40 0.75, Peceol 0.25, corn oil 0.40, and **fenofibrate** 0.10; (2) Cremophor RH-40 0.57, Crovol M-40 0.43, corn oil 0.40, and Rofecoxib 0.15; (3) Tween 80 0.70, Tween 85 0.35, Miglyol 812 0.30, Paclitaxel 0.10, and **PEG** 400 0.25; or (4) Kessco **PEG** 400 MO 0.33, corn oil 0.30, and Terbinafine 0.25 parts, resp.

IT **49562-28-9, Fenofibrate**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clear aq. dispersions of triglyceride and surfactants for delivery of drugs and nutrients)

RE.CNT 2

RE

- (1) Stone; US 5817320 A 1998 HCAPLUS
(2) Takahashi; US 5948825 A 1999 HCAPLUS

L107 ANSWER 3 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:909632 HCAPLUS

DN 134:76381

TI Combinations of microsomal triglyceride-exchanging protein (MTP) inhibitors with hypolipemics and their use in medicaments

IN Gruetzmann, Rudi; Mueller, Ulrich

PA Bayer A.-G., Germany

SO Ger. Offen., 46 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19929031	A1	20001228	DE 1999-19929031	19990625
	WO 2001000184	A2	20010104	WO 2000-EP5417	20000613
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI DE 1999-19929031 A 19990625

OS MARPAT 134:76381

AB The invention concerns the use of a combination of at least one MTP inhibitor (component A) as well as vitamins and substances affecting lipid metab. for the fight against cardiovascular diseases, (component B), and the prodn. and use of this combination. An example of an A component is (2S)-2-cyclopentyl-2-[4-(2,4-dimethylpyrido[2,3-b]indol-9-ylmethyl)phenyl]-N-(2-hydroxy-1-phenylethyl)acetamide. An example of a B component is Gemfibrozil.

IT **1406-18-4, Vitamin e 49562-28-9, Fenofibrate**

RL: BAC (Biological activity or effector, except adverse); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(combinations of microsomal triglyceride-exchanging protein (MTP)
inhibitors with hypolipemics)

L107 ANSWER 4 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:861475 HCAPLUS

DN 134:32974

TI Novel formulations comprising lipid-regulating agents

IN Law, Devalina; Krill, Steven L.; Schmitt, Eric A.; Fort, James J.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000072829	A1	20001207	WO 2000-US14109	20000523
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRAI US 1999-323183 A 19990528

AB The present invention is directed to a solid formulation comprising the mixt. of a lipid-regulating agent and an excipient, in which the agent and the excipient form a eutectic mixt. Thus, **fenofibrate** and **PEG** (15:85) was heated to 85.degree. until a clear soln. was obtained. The soln. was cooled to get a solid mass, which was ground and sieved through a 600-100 mesh screen. The solid was filled into capsules.

IT 25322-68-3, **Polyethylene glycol**

49562-28-9, **FenoFibrate**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(formulations comprising lipid-regulating agents)

RE.CNT 5

RE

(1) Boehringer Mannheim GmbH; DE 2157201 A 1973 HCAPLUS

(2) Margarit, M; INTERNATIONAL JOURNAL OF PHARMACEUTICS (AMSTERDAM) 1994, V108(2), P101 HCAPLUS

(3) Sheu; INTERNATIONAL JOURNAL OF PHARMACEUTICS 1994, V103(2), P137 HCAPLUS

(4) Valducci, R; US 4957746 A 1990 HCAPLUS

(5) Warner Lambert Co; WO 9311749 A 1993 HCAPLUS

L107 ANSWER 5 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:725436 HCAPLUS

DN 133:301171

TI Compositions and methods for improved delivery of ionizable **hydrophobic** therapeutic agents

IN Chen, Feng-jing; Patel, Manesh V.

PA Lipocine, Inc., USA

SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059475	A1	20001012	WO 2000-US7342	20000316
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 1999-287043 A 19990406

AB The present invention is directed to a pharmaceutical compn. including a

hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of prepg. such compns. by providing a compn. of an ionizable **hydrophobic** therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier contg. concd. phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole soln. upon diln. in simulated gastric fluid.

IT 9002-96-4, D-.alpha.-**Tocopheryl** polyethylene glycol succinate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. **hydrophobic** therapeutic agents
and carriers contg. ionizing agents and surfactants and triglycerides)

RE.CNT 3

RE

- (1) Blair; US 4306981 A 1981 HCAPLUS
- (2) Hauer; US 5342625 A 1994 HCAPLUS
- (3) Story; US 4944949 A 1990 HCAPLUS

✓ L107 ANSWER 6 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:707019 HCAPLUS

DN 133:271719

TI Novel formulations comprising lipid-regulating agents

IN Liu, Rong; Pan, Qinghai; Hansrani, Pawan

PA Abbott Laboratories, USA

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000057918	A2	20001005	WO 2000-US7459	20000321
	WO 2000057918	A3	20010118		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-283356 A 19990331

AB The present invention is directed to a formulation comprising a lipid-regulating agent dissolved in a mixt. of an oil and one or more surfactants to form a conc. This conc. forms fine and stable emulsions upon gentle mixing with water or any aq. solns. Distillated acetylated monoglyceride (Myvacet 9-08) was mixed with **propylene glycol** laurate. **Fenofibrate** was then added to the mixt. and mixed until completely dissolved. One drop of the soln. was dild. with 10 mL of water to obtain a soft gelatin capsule.

IT 49562-28-9, **Fenofibrate**

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipid-regulating emulsions contg. active agents and surfactants and oils)

IT 56-81-5D, Glycerine, esters 57-55-6, **Propylene glycol**, biological studies 25322-68-3D, **Polyethylene glycol**, esters

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(lipid-regulating emulsions contg. active agents and surfactants and oils)

L107 ANSWER 7 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:706964 HCAPLUS

DN 133:271710

TI Novel formulations comprising lipid-regulating agents

IN Patel, Jitendra P.; Sanzgiri, Yeshwant D.; Lipari, John M.; Reiland, Thomas L.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000057859	A1	20001005	WO 2000-US7650	20000323
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 1999-282513 A 19990331

AB The present invention is directed to a formulation comprising a lipid-regulating agent dissolved or dispersed in at least one oil and an emulsifier or emulsifier blend, the resulting mixt. being capable of forming an emulsion upon diln. in an aq. medium. The emulsions result in an increase in drug soly., oral bioavailability, and half-life. Pravastatin 1 g was dispersed in 24 g soybean oil and 2.5 g sorbitan monooleate, 0.5 g Polysorbate 80, and 72 g water were added with const. mixing until a uniform emulsion resulted.

IT 57-55-6, Propylene glycol, biological studies

9002-96-4, TPGS 25322-68-3, Polyethylene

glycol 49562-28-9, Fenofibrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) A
(stable emulsions contg. hypolipemics)

RE.CNT 6

RE

- (1) American Cyanamid Co; EP 0031603 A 1981 HCAPLUS
 - (2) Lacy, J; US 5645856 A 1997 HCAPLUS
 - (3) Lilly Industries Ltd; GB 1590864 A 1981 HCAPLUS
 - (4) Mishra, A; WO 9929300 A 1999 HCAPLUS
 - (5) Wakamoto Pharma Co Ltd; EP 0700678 A 1996 HCAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

B

A+B

L107 ANSWER 8 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:608551 HCAPLUS

DN 133:213151

TI Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents

IN Patel, Manesh V.; Chen, Feng-Jing

PA Lipocine, Inc., USA

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000050007	A1	20000831	WO 2000-US165	20000105
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,			

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
 IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
 MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
 SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-258654 A 19990226

AB The present invention relates to triglyceride-free pharmaceutical compns. for delivery of **hydrophobic** therapeutic agents. Compns. of the present invention include a **hydrophobic** therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a **hydrophobic** surfactant. Upon diln. with an aq. solvent, the compn. forms a clear, aq. dispersion of the surfactants contg. the therapeutic agent. The invention also provides methods of treatment with **hydrophobic** therapeutic agents using these compns. A pharmaceutical compn. contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and **propylene glycol** 0.46 mg.

IT 1406-18-4, Vitamin E 9002-96-4
 49562-28-9, Fenofibrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical compns. and methods for improved delivery of **hydrophobic** therapeutic agents)

RE.CNT 4

RE

- (1) Crooks; US 4572915 A 1986 HCAPLUS
- (2) Muller; US 4719239 A 1988 HCAPLUS
- (3) Schmidt; US 4727109 A 1988 HCAPLUS
- (4) Story; US 4944949 A 1990 HCAPLUS

L107 ANSWER 9 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:441608 HCAPLUS

DN 133:63989

TI Novel formulations comprising lipid-regulating agents

IN Lipari, John M.; Raymond, Dawn M.; Reiland, Tom

PA Abbott Laboratories, USA

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000037057	A2	20000629	WO 1999-US29696	19991215
	WO 2000037057	A3	20001116		
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRAI US 1998-216448 A 19981218

AB The present invention is directed to a formulation comprising a lipid-regulating agent dissolved in at least one **propylene glycol** fatty acid ester as the primary solvent medium for the agent. One or more emulsifiers may be added to the formulation. Capmul PG8 (**propylene glycol** mono- and dicaprylate from Abitec) 8.3 g was mixed with 1 g Cremophor EL. **Fenofibrate** 0.7 g was then added to the above mixt. The mixt. was added to soft gelatin capsules using a syringe and the capsules were heat-sealed to give capsules contg. 67 mg **fenofibrate** each.

IT 57-55-6, **Propylene glycol**, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (as cosolvent; capsules contg. lipid-regulating agents dissolved in **propylene glycol** fatty acid esters)

IT 7384-98-7, **Propylene glycol**
 dicaprylate 31565-12-5, **Propylene**

glycol monocaprylate 49562-28-9,
Fenofibrate 53824-77-4, Propylene
glycol dicaprate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (capsules contg. lipid-regulating agents dissolved in **propylene**
glycol fatty acid esters)

L107 ANSWER 10 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:368068 HCAPLUS

DN 133:9129

TI Dispersible **phospholipid** stabilized microparticles

IN Parikh, Indu; Mishra, Awadhesh K.; Donga, Robert; Vachon, Michael G.

PA RTP Pharma Inc., USA

SO PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000030616	A1	20000602	WO 1999-US27436	19991119
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1998-109202	P	19981120		
AB	Rapidly dispersing solid dry therapeutic dosage form comprises a water-insol. compd. existing as a nanometer or micrometer particulate solid which is surface stabilized by the presence of at least 1 phospholipid , the particulate solid being dispersed throughout a bulking matrix. When the dosage form is introduced into an aq. environment the bulking matrix is substantially completely dissolved within <2 min thereby releasing the water insol. particulate solid in an unaggregated and/or unagglomerated state. The matrix is composed of a water-insol. substance or therapeutically useful water-insol. or poorly water-sol. compd., a phospholipid and optionally also at least 1 nonionic, anionic, cationic or amphipathic surfactant, together with a matrix or bulking agent and if needed a release agent. The vol. weighted mean particle size of the water insol. particle is .ltoreq.5 .mu.m. Thus, a solid dosage form contained Phospholipon 100H 5.6, Tween-80 5.6, fenofibrate 27.8, and mannitol 61.0% by wt.				
IT	56-81-5, Glycerol , biological studies 57-55-6, Propylene glycol , biological studies 25322-68-3, Polyethylene glycol 49562-28-9, Fenofibrate RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (dispersible phospholipid stabilized microparticles)				

RE.CNT 2

RE

(1) Res Triangle Pharm Ltd; WO 9807414 A 1998 HCAPLUS

(2) Rtp Pharma Inc; WO 9961001 A 1999 HCAPLUS

L107 ANSWER 11 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:259972 HCAPLUS

DN 132:293042

TI Encapsulation of sensitive liquid components into a matrix to obtain discrete shelf-stable particles

IN Van Lengerich, Bernhard H.

PA General Mills, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021504	A1	20000420	WO 1999-US20905	19991006
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9963872	A1	20000501	AU 1999-63872	19991006
	NO 2000004784	A	20000925	NO 2000-4784	20000925
PRAI	US 1998-103700	P	19981009		
	US 1998-109696	P	19981124		
	US 1999-233443	A	19990120		
	US 1998-79060	P	19980323		
	WO 1999-US4267	W	19990323		
	WO 1999-US20905	W	19991006		
AB	A liq. encapsulant component which contains an active, sensitive encapsulant, such as a live microorganism or an enzyme dissolved or dispersed in a liq. plasticizer is admixed with a plasticizable matrix material. The matrix material is plasticizable by the liq. plasticizer and the encapsulation of the active encapsulant is accomplished at a low temp. and under low shear conditions. The active component is encapsulated and/or embedded in the plasticizable matrix component or material in a continuous process to produce discrete, solid particles. The liq. content of the liq. encapsulant component provides substantially all or completely all of the liq. plasticizer needed to plasticize the matrix component to obtain a formable, extrudable, cuttable, mixt. or dough. Removal of liq. plasticizer prior to extrusion is not needed to adjust the viscosity of the mixt. for formability. Release of an active component from the matrix may be delayed or controlled over time so that the active component is delivered when and where it is needed to perform its intended function. Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant.				
IT	102-76-1, Triacetin 49562-28-9				
	RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses) (encapsulation of sensitive liq. components into a matrix to obtain discrete shelf-stable particles)				

RE.CNT 1

RE

(1) Katzen; US 3786123 A 1974 HCAPLUS

L107 ANSWER 12 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:811063 HCAPLUS

DN 132:40564

TI Processes to generate submicron particles of water-insoluble compounds

IN Pace, Gary W.; Vachon, G. Michael; Mishra, K. Awadhesh; Henriksen, Inge B.; Krukonis, Val; Godinas, Anthony

PA RTP Pharma Inc., USA

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965469	A2	19991223	WO 1999-US13755	19990618

WO 9965469 A3 20000302

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9946938 A1 20000105 AU 1999-46938 19990618

US 6177103 B1 20010123 US 1999-335735 19990618

EP 1089714 A2 20010411 EP 1999-930387 19990618

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

SE 2000004620 A 20010208 SE 2000-4620 20001214

PRAI US 1998-89852 P 19980619

WO 1999-US13755 W 19990618

AB Submicron particles of water-insol. compds., particularly drugs, are prepd. by simultaneously stabilizing microparticulate suspensions of same with surface modifier mols. by rapid expansion into an aq. medium from a compressed soln. of the compd. and surface modifiers in a liquefied gas and optionally homogenizing the aq. suspension thus formed with a high pressure homogenizer. An example is give showing the phase behavior of a water insol. compd. (**fenofibrate**) in liquefied gasses CO₂, propane, and ethane.

IT 49562-28-9, **Fenofibrate**

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (generation of submicron particles of water-insol. drugs)

IT 25322-68-3, **Peg**

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (generation of submicron particles of water-insol. drugs)

L107 ANSWER 13 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:811045 HCAPLUS

DN 132:40559

TI Cosmetic or dermopharmaceutical beads comprising a **hydrophobic** wax, an oil, and talcum

IN Ioulalen, Karim; Raynal, Rosanne

PA Fr.

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965448	A2	19991223	WO 1999-FR1445	19990616
	WO 9965448	A3	20000217		
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FR 2779962	A1	19991224	FR 1998-7612	19980617
	EP 1030687	A2	20000830	EP 1999-925113	19990616
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI FR 1998-7612 A 19980617

WO 1999-FR1445 W 19990616

AB The invention concerns an anhyd. solid compn. comprising at least a **hydrophobic** wax, an oil and talcum, having preferably the form of beads with size ranging from 1 to 10000 .mu.. The beads can contain a cosmetic or pharmaceutical active principle, pigments or an agri-food constituent. The invention also concerns the method for prepg. said beads. Vitamin beads were prepd. from paraffin oil 55, paraffin 16,

silicone oil 6, PEG 6, talc 6, **vitamin E** 0.5, provitamin A 0.3, silica 4, titanium dioxide 3, sunscreens 3, and preservatives 0.2 g.

IT **1406-18-4, Vitamin e**

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic or dermopharmaceutical beads comprising **hydrophobic** wax, oil, and talcum)

L107 ANSWER 14 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:722926 HCAPLUS

DN 131:342011

TI Adjuvant **emulsion** composition and methods for its use

IN Leesman, Glen D.

PA Ribic Immunochem Research, Inc., USA

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9956776	A2	19991111	WO 1999-US9978	19990507
	WO 9956776	A3	20000106		
	W:	AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9939737	A1	19991123	AU 1999-39737	19990507
	BR 9910269	A	20010109	BR 1999-10269	19990507
	EP 1075276	A2	20010214	EP 1999-922832	19990507
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	NO 2000005596	A	20010105	NO 2000-5596	20001106
PRAI	US 1998-84678	P	19980507		
	WO 1999-US9978	W	19990507		

AB An adjuvant compn. which is a stable oil-in-water emulsion comprising a metabolizable oil, one or more surfactants, an antioxidant and a compd. to make the emulsion isotonic is described and claimed. The stable emulsion has a **hydrophobic**-lipophilic balance (HLB) of from about 7.5 to about 10.5 and a particle size of less than 3 μ m. In a preferred embodiment, the stable emulsion comprises 10 % vol. to vol. squalene, 0.09 % wt. to vol. PLURONIC F-68 block co-polymer, 1.9 % wt. to vol. egg phosphatidyl choline, 1.75 % vol. to vol. glycerol and 0.05 % wt. to vol. **.alpha.-tocopherol**. The preferred emulsion has a HLB of 8.0 and a particle size of about 0.2 μ m. In a particularly preferred embodiment, the stable emulsion is combined with an attenuated lipid A deriv. such as monophosphoryl lipid A or 3-deacylated monophosphoryl lipid A to enhance the adjuvanticity of the compn.

IT **59-02-9, .alpha.-Tocopherol**

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(adjuvant emulsion compn. and methods for its use)

L107 ANSWER 15 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:699083 HCAPLUS

DN 131:314220

TI **Hydrophobic** solutions for easily oxidized drugs

IN Hattori, Manabu; Kodaka, Akito; Koide, Misao

PA Lion Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11302195	A2	19991102	JP 1998-122804	19980416
AB	The hydrophobic solns. (including eye drops) are prepd. by micelle (0.03-5.mu.m in diams.) contg. the easily oxidized drugs and surfactants, with good stability.				
IT	58-95-7, D-.alpha.-Tocopherol acetate RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hydrophobic solns. for easily oxidized drugs)				

L107 ANSWER 16 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:640692 HCAPLUS

DN 131:262647

TI Compositions and methods of adjusting steroid hormone metabolism through facilitated absorption of **hydrophobic dietary** compounds

IN Zeligs, Michael A.; Jacobs, Irwin C.

PA Bioresponse, L.L.C., USA

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9949851	A1	19991007	WO 1999-US7178	19990401
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6086915	A	20000711	US 1998-53180	19980401
	AU 9933772	A1	19991018	AU 1999-33772	19990401
	EP 1067913	A1	20010117	EP 1999-915193	19990401
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI US 1998-53180 A 19980401

WO 1999-US7178 W 19990401

AB The present invention relates to spray dried **hydrophobic** phytochem. chemopreventive compns., a process for making such compns. and a method of using such compns. to adjust steroid metab. in mammals. Typically, the **hydrophobic** dietary compns. of the present invention exhibit enhanced absorptivity when taken orally as a chemopreventive agent.IT **37311-39-0, Vitamin E succinate**

RL: FFD (Food or feed use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. and methods of adjusting steroid hormone metab. through facilitated absorption of **hydrophobic dietary compds.**)

RE.CNT 8

RE

(1) Bradlow; Annals of New York Academy of Sciences 1995, V768, P180 HCAPLUS

(2) Hyrb; Planta Medica 1995, V61, P31

(4) Liao; Biochem Biophys Res Comm 1995, V214(3), P833 HCAPLUS

(5) Lien; J Clin Pharm Ther 1996, V21, P101 HCAPLUS

(8) Soon-Shiong; US 5560933 A 1996 HCAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L107 ANSWER 17 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:388075 HCAPLUS
 DN 131:23550
 TI Self-emulsifying **fenofibrate** formulations
 IN Mishra, Awadhesh K.; Moussa, Iskandar; Parikh, Indu
 PA RTP Pharma Inc., Can.
 SO PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9929300	A1	19990617	WO 1998-US26075	19981210
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9918094	A1	19990628	AU 1999-18094	19981210
PRAI	US 1997-988270	A2	19971210		
	US 1998-49942	A2	19980330		
	WO 1998-US26075	W	19981210		
AB	Pharmaceutical oral dosage forms for fenofibrate are described in which the active drug is formulated as storage stable self-emulsifying precon. composed of an oil phase including triglycerides, fish oils, free fatty acids and esters, vegetable oils, a nonionic surfactant, and a hydrophilic component, such as hydroxyalkanes, polyethylene glycols and the like. Upon oral administration, the precon. forms in situ a microemulsion in the gastrointestinal tract. The compn. enhances the oral bioavailability of fenofibrate . A droplet (mean particle size 40 nm) was formulated contg. fenofibrate 100, Miglyol 840 435, Span 20 135, Tween 80 470, and ethanol 100 mg.				
IT	57-55-6, 1,2-Propanediol, biological studies 25322-68-3 49562-28-9, Fenofibrate 77466-09-2, Miglyol 840 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (self-emulsifying fenofibrate oral formulations)				

RE.CNT 7

RE
 (1) CL Pharma; EP 0757911 A 1997 HCAPLUS
 (2) Fournier; EP 0330532 A 1989 HCAPLUS
 (3) Fournier; EP 0724877 A 1996 HCAPLUS
 (4) Galephar; WO 9621439 A 1996 HCAPLUS
 (5) Pharmacia; WO 9420072 A 1994 HCAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L107 ANSWER 18 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:368429 HCAPLUS
 DN 131:139306
 TI **Fenofibrate** protects lipoproteins from lipid peroxidation: synergistic interaction with **.alpha.-tocopherol**
 AU Chaput, Evelyne; Maubrou-Sanchez, Dominique; Bellamy, Francois D.; Edgar, Alan D.
 CS Laboratoires Fournier, Department of Atherosclerosis, Daix, 21121, Fr.
 SO Lipids (1999), 34(5), 497-502
 CODEN: LPDSAP; ISSN: 0024-4201
 PB AOCS Press
 DT Journal
 LA English
 AB One of the earliest steps of atherosclerotic plaque formation is an increase of circulating apolipoprotein B-contg. lipoproteins which, after infiltrating the subendothelial space, undergo oxidative modification. **Fenofibrate** is an effective cholesterol- and triglyceride-lowering

agent which has been shown to be beneficial in the treatment of atherosclerosis. **Vitamin E**, or **.alpha.-tocopherol**, is a powerful antioxidant which has been shown in a variety of studies to prevent lipoprotein peroxidn. The purpose of the present study was to investigate the effect of **fenofibrate** treatment, either alone or in combination with **.alpha.-tocopherol**, in reducing the susceptibility of lipoproteins to oxidative modification. Rats fed a normal diet were treated for .ltoreq.27 d with **fenofibrate**, either alone or in combination with equimolar doses of **.alpha.-tocopherol**. Combined VLDL (very low d. lipoproteins) and LDL (low d. lipoproteins) isolated after **fenofibrate** treatment were more resistant to copper-mediated oxidn., as assessed by conjugated diene formation. Lag time was prolonged .ltoreq.3.2-fold, while the maximal rate of diene prodn. was significantly decreased by .ltoreq.2.2-fold. Treatment of rats with **.alpha.-tocopherol** alone at the selected dose had no significant effect on lag time, while the propagation rate was slightly decreased. Coadministration of **fenofibrate** with **.alpha.-tocopherol** prolonged the lag phase to a greater extent than **fenofibrate** alone, showing a synergistic interaction between the two compds. Finally, the combination of **fenofibrate** and **.alpha.-tocopherol** was significantly more effective in modifying lipoprotein oxidn. parameters than what was obsd. with **.alpha.-tocopherol** and bezafibrate or gemfibrozil. Thus, in addn. to its well-established effects on lipoprotein concns. and atherogenic parameters, **fenofibrate** reduces the susceptibility of VLDL and LDL to oxidative modification and exerts its action synergistically with **.alpha.-tocopherol**.

RE.CNT 46

RE

- (2) Austin, M; Curr Opin Lipidol 1996, V7, P167 HCAPLUS
- (3) Babi, A; Atherosclerosis 1990, V81, P175 HCAPLUS
- (4) Balfour, J; Drugs 1990, V40, P260 HCAPLUS
- (6) Berthou, L; J Clin Invest 1996, V97, P2408 HCAPLUS
- (7) Bowry, V; Biochem J 1992, V288, P341 HCAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L107 ANSWER 19 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:281985 HCAPLUS

DN 130:316639

TI **Emulsified drug delivery system**

IN Rudnic, Edward M.; Mccarty, John A.; Belendiukdeceased, George W.; Burnside, Beth A.; Mcguinness, Charlotte M.; Belendiuk, Krystyna

PA Shire Laboratories Inc., USA

SO U.S., 15 pp., Cont. of U.S. Ser. No. 475,322, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5897876	A	19990427	US 1997-879994	19970620
PRAI	US 1995-475322		19950607		

AB A pharmaceutical prepn. comprising a stable, surface-active emulsion or dispersion of a pharmaceutical agent incorporated into an emulsion (i) having a **hydrophobic** discontinuous phase of a long chain carboxylic acid or ester or alc. thereof dispersed in an aq. phase or (ii) having a hydrophilic discontinuous phase dispersed in a **hydrophobic** phase of a long chain carboxylic acid or alc. thereof. The emulsion with pharmaceutical agent is incorporated into a pharmaceutical carrier suitable for oral delivery. A water-in-oil emulsion contg. carbamazepine 5, glyceryl monostearate 5-60, polysorbate 80 5, oleic acid 2-10, and water q.s. to 100 % was formulated.

IT 58-95-7, D-.alpha.-Tocopherol acetate
9002-96-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical microemulsions contg. continuous **hydrophobic** phases and discontinuous aq. phases and surfactants and pharmaceutical agents)

RE.CNT 14

RE

- (1) Anon; WO 93/02664 1993 HCAPLUS
- (2) Anon; WO 93/02665 1993 HCAPLUS
- (3) Anon; WO 94/08605 1994 HCAPLUS
- (4) Aronson; US 4606913 1986 HCAPLUS
- (5) Banker; US 4330338 1982 HCAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L107 ANSWER 20 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:193985 HCAPLUS

DN 130:227750

TI Self-emulsifiable semi-solid capsules with matrix system having prolonged action

IN Sereno Guerra, Antonio

PA SMB Technology, Belg.

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9912528	A1	19990318	WO 1998-BE132	19980908
	W: CA, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	BE 1011363	A3	19990803	BE 1997-742	19970911
PRAI	BE 1997-742		19970911		
AB	A matrix self-emulsifiable semi-solid capsule with a matrix system having prolonged action, comprises an active principle, at least a surfactant capable of self-emulsification in an aq. or physiol. medium in the presence of the active principle, of HLB value ranging between 1 and 20 and at least one hydrophilic org. polymer for forming a hydrophilic matrix system non-ionizable in the presence of said liq. mixt., wherein the hydrophilic org. polymer is a hydroxyethyl cellulose or hydroxypropyl cellulose with mol. wt. less than 1,000,000 and preferably between 80,000 and 800,000. A capsule contained fenofibrate (I) 200, Gelucire 44/14 300, Klucel XHF 100, and peg 60 mg. The amt. of I released over 6 h period was .apprx. 100%.				

IT 56-81-5D, Glycerol, derivs.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self-emulsifiable semi-solid capsules with matrix system having prolonged action)

RE.CNT 3

RE

- (1) Adir Et Compagnie; EP 0806202 A 1997 HCAPLUS
- (2) Eli Lilly And Company; EP 0222614 A 1987 HCAPLUS
- (3) Galephar; WO 9621439 A 1996 HCAPLUS

L107 ANSWER 21 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:744939 HCAPLUS

DN 130:17236

TI MTP inhibitors and fat soluble vitamin therapeutic combinations to lower serum lipid levels

IN Gregg, Richard E.; Wetterau, John R., II

PA Bristol-Myers Squibb Co., USA

SO PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9850028 A1 19981112 WO 1998-US8269 19980423
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9871559 A1 19981127 AU 1998-71559 19980423
 EP 1024804 A1 20000809 EP 1998-918680 19980423
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
 PRAI US 1997-45405 P 19970501
 WO 1998-US8269 W 19980423
 OS MARPAT 130:17236
 AB A pharmaceutical combination is formed from an MTP inhibitor and a fat sol. vitamin such as **vitamins E, A, K** and/or D, and optionally another cholesterol lowering drug, is provided which is employed in a method for lowering serum lipids, cholesterol and/or triglycerides and thereby inhibiting or treating atherosclerosis, pancreatitis, hyperglycemia and/or obesity. Tablets contg. 500 mg clofibrate in combination with 10 mg BMS-201038 and fat sol. vitamins are employed in sep. dosage forma or combined in a single capsule form to lower cholesterol and treat various diseases.
 IT 1406-18-4, **Vitamin E 49562-28-9, Fenofibrate**
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (MTP inhibitors and fat sol. vitamin combinations to lower serum lipid levels)
 RE.CNT 5
 RE
 (1) Anon; 1985, 17, HCAPLUS
 (2) Biller; US 5739135 A 1998 HCAPLUS
 (3) Creger; US 3674836 A 1972 HCAPLUS
 (4) Endo; US 3983140 A 1976 HCAPLUS
 (5) Lee; Effect of vitamin E on atherosclerosis in lipid-fed rabbits 1984, V14(2), P461
 L107 ANSWER 22 OF 55 HCAPLUS COPYRIGHT 2001 ACS
 AN 1998:490503 HCAPLUS
 DN 129:113561
 TI Pharmaceutical **microemulsion** preconcentrates comprising cyclosporins
 IN Sherman, Bernard Charles
 PA Sherman, Bernard Charles, Can.
 SO PCT Int. Appl., 22 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9830204	A1	19980716	WO 1998-CA23	19980113
W: CA				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI NZ 1997-314060		19970113		
AB Disclosed is a microemulsion preconc. comprising a cyclosporin dissolved in a solvent system, wherein either the solvent system comprises two hydrophobic solvents, one of which is a hydrophobic alc. and the second of which is selected from tocol, tocopherols , tocotrienols , and derivs. thereof, or the solvent system comprises two surfactants, one of which is a polyoxyethylene glycolated natural or hydrogenated vegetable oil, and the second of which is another water-sol. nonionic surfactant. A compn. contg. cyclosporine 1, 1-tetradecyl alc.				

1.2, Covi-OX T-70 0.2, propylene carbonate 1, Cremophor RH40 3, and Polysorbate-20 2.4 g was well mixed and 1 g of the compn. was dispersed in 20 mL of water to give an emulsion.

IT 1406-18-4, Vitamin E 6829-55-6,
Tocotrienol

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solvent systems for microemulsion preconcs. contg. cyclosporins)

L107 ANSWER 23 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:484963 HCAPLUS

DN 129:113556

TI Processes for spray drying solutions of **hydrophobic** drugs with hydrophilic excipients

IN Gordon, Marc S.; Lord, John D.

PA Inhale Therapeutic Systems, Inc., USA

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829141	A1	19980709	WO 1997-US23904	19971229
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9858069	A1	19980731	AU 1998-58069	19971229
	EP 951300	A1	19991027	EP 1997-954240	19971229
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5976574	A	19991102	US 1997-999100	19971229
	US 5985248	A	19991116	US 1997-999104	19971229
	US 6001336	A	19991214	US 1997-999095	19971229
	US 6077543	A	20000620	US 1997-999097	19971229
PRAI	US 1996-34837	P	19961231		
	WO 1997-US23904	W	19971229		

AB Methods for prep. dry powders having **hydrophobic** and hydrophilic components comprise combining solns. or suspensions of the components and spray drying them simultaneously in a spray drier. Both the **hydrophobic** and hydrophilic component are dissolved in a solvent system selected to have adequate soly. or both components. The method provides dry powders having relatively uniform characteristics. The method was illustrated by using budesonide (particle size of 1-2 .mu.m), lactose, Povidone, mannitol, NaCl, EtOH and acetone.

IT 1406-18-4, Vitamin E

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(spray drying solns. of **hydrophobic** drugs with hydrophilic excipients)

L107 ANSWER 24 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:484962 HCAPLUS

DN 129:100064

TI Processes and compositions for spray drying **hydrophobic** drugs in organic solvent suspensions of hydrophilic excipients

IN Gordon, Marc S.

PA Inhale Therapeutic Systems, USA

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829140	A1	19980709	WO 1997-US23903	19971229
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9858068	A1	19980731	AU 1998-58068	19971229
	US 5976574	A	19991102	US 1997-999100	19971229
	US 5985248	A	19991116	US 1997-999104	19971229
	US 6001336	A	19991214	US 1997-999095	19971229
	US 6077543	A	20000620	US 1997-999097	19971229
PRAI	US 1996-34837	P	19961231		
	WO 1997-US23903	W	19971229		
AB	Methods for prepg. dry powders having hydrophobic and hydrophilic components comprise combining solns. or suspensions of the components and spray drying them simultaneously in a spray drier. The hydrophobic component may be dissolved in an inorg. solvent and the hydrophilic component suspended therein. The method provides dry powders having relatively uniform characteristics. Budesonide was spray dried with lactose and ethanol.				
IT	1406-18-4, Vitamin E RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (processes and compns. for spray drying hydrophobic drugs in org. solvent suspensions of hydrophilic excipients)				

L107 ANSWER 25 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:484924 HCAPLUS

DN 129:100062

TI Processes for spray drying aqueous suspensions of **hydrophobic** drugs with hydrophilic excipients and compositions prepared by such processes

IN Gordon, Marc S.

PA Inhale Therapeutic Systems, Inc., USA

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829098	A1	19980709	WO 1997-US23905	19971229
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9857197	A1	19980731	AU 1998-57197	19971229
	US 5976574	A	19991102	US 1997-999100	19971229
	EP 952821	A1	19991103	EP 1997-953453	19971229
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5985248	A	19991116	US 1997-999104	19971229
	US 6001336	A	19991214	US 1997-999095	19971229
	US 6077543	A	20000620	US 1997-999097	19971229
PRAI	US 1996-34837	P	19961231		
	WO 1997-US23905	W	19971229		

AB Methods for prepg. dry powders having **hydrophobic** and hydrophilic components comprise combining solns. or suspensions of the components and spray drying them simultaneously in a spray drier. The hydrophilic component is dissolved in an aq. soln. and the **hydrophobic** component suspended therein. The method provides dry powders having relatively uniform characteristics. Budesonide was spray dried with lactose and water.

IT **1406-18-4, Vitamin E**

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(processes for spray drying aq. suspensions of **hydrophobic** drugs with hydrophilic excipients)

L107 ANSWER 26 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:484922 HCAPLUS

DN 129:100061

TI **Aerosolized hydrophobic drug**

IN Gordon, Marc S.; Clark, Andrew; Brewer, Thomas K.

PA Inhale Therapeutic Systems, USA

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829096	A1	19980709	WO 1997-US23902	19971229
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9860140	A1	19980731	AU 1998-60140	19971229
	US 5976574	A	19991102	US 1997-999100	19971229
	US 5985248	A	19991116	US 1997-999104	19971229
	US 6001336	A	19991214	US 1997-999095	19971229
	EP 971698	A1	20000119	EP 1997-954799	19971229
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6077543	A	20000620	US 1997-999097	19971229
PRAI	US 1996-34837	P	19961231		
	WO 1997-US23902	W	19971229		

AB Methods for prepg. dry powders having **hydrophobic** and hydrophilic components comprise combining solns. of the components and spray drying them simultaneously in a spray dryer. The hydrophilic and **hydrophobic** component are sep. dissolved in sep. solvents and directed simultaneously through a nozzle, usually a coaxial nozzle, into the spray dryer. The method provides dry powders having relatively uniform characteristics. Budesonide was spray dried with ethanol, lactose, and water.

IT **1406-18-4, Vitamin E**

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(aerosolized **hydrophobic** drug)

L107 ANSWER 27 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:293427 HCAPLUS

DN 129:8597

TI Embedding and encapsulation of controlled release particles

IN Van Lengerich, Bernhard H.

PA Van Lengerich, Bernhard H., USA

SO PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9818610	A1	19980507	WO 1997-US18984	19971027
	W: AU, CA, JP, NO, PL, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9749915	A1	19980522	AU 1997-49915	19971027
	EP 935523	A1	19990818	EP 1997-912825	19971027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NO 9902036	A	19990428	NO 1999-2036	19990428
PRAI	US 1996-29038		19961028		
	US 1997-52717		19970716		
	WO 1997-US18984		19971027		
AB	Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temp. of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixt. The mixt. is extruded through a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, <u>glycerol</u> monostearate, and vegetable oil.				
IT	102-76-1, <u>Triacetin</u> 25322-68-3 49562-28-9, <u>Fenofibrate</u> RL: PEP (Physical; engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (embedding and encapsulation of controlled release particles)				

L107 ANSWER 28 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:55555 HCAPLUS

DN 128:132418

TI **Hydrophobic** preparations containing medium chain monoglycerides

IN New, Roger Randal Charles; Kirby, Christopher John

PA Cortecs Ltd., UK; New, Roger Randal Charles; Kirby, Christopher John

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800169	A1	19980108	WO 1997-GB1775	19970702
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,				

GN, ML, MR, NE, SN, TD, TG

ZA 9705856	A	19990104	ZA 1997-5856	19970701
CA 2259233	AA	19980108	CA 1997-2259233	19970702
AU 9733526	A1	19980121	AU 1997-33526	19970702
AU 709013	B2	19990819		
EP 910411	A1	19990428	EP 1997-929411	19970702

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI

CN 1224360	A	19990728	CN 1997-196069	19970702
BR 9710179	A	19990810	BR 1997-10179	19970702
JP 2000515130	T2	20001114	JP 1998-503931	19970702
NO 9806211	A	19990302	NO 1998-6211	19981230
PRAI GB 1996-13858	A	19960702		
WO 1997-GB1775	W	19970702		

AB **Hydrophobic** prepn. which are useful as, among other things, pharmaceutical delivery systems comprise: (i) an oil phase comprising one or more medium chain monoglycerides, such as Akoline MCM; (ii) at least one amphiphile, preferably including a phospholipid such as phosphatidyl choline; and (iii) a hydrophilic species, which may be a protein such as insulin or calcitonin or another macromol., solubilized or otherwise dispersed in the one or more glycerides. (The hydrophilic species is one that is not normally sol. in the glycerides). An example is given of prepn. of a formulation contg. calcitonin-phosphatidylcholine complex.

L107 ANSWER 29 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:501418 HCAPLUS

DN 127:113375

TI **Microemulsion** preconcentrates comprising cyclosporins

IN Sherman, Bernard Charles

PA Sherman, Bernard Charles, Can.

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9722358	A1	19970626	WO 1996-CA803	19961203
	W: AU, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, IL, JP, KR, MX, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2240640	AA	19970626	CA 1996-2240640	19961203
	AU 9676885	A1	19970714	AU 1996-76885	19961203
	US 5998365	A	19991207	US 1998-77803	19980615
PRAI	NZ 1995-280689		19951215		
	WO 1996-CA803		19961203		

AB A pharmaceutical compn. in the form of a microemulsion preconc., comprises a cyclosporin dissolved in a solvent system further contg. a **hydrophobic** component, a hydrophilic component, and a surfactant, wherein (1) the **hydrophobic** component is selected from tocol, **tocopherols**, **tocotrienols**, and derivs. thereof and (2) the hydrophilic component is selected from propylene carbonate and polyethylene glycol having an av. mol. wt. of less than 1000. A microemulsion contained cyclosporine 10, benzyl alc. 5, **.alpha.-tocopherol acetate** 10 and ethoxylated hydrogenated castor oils 55 parts.

IT 58-95-7, Vitamin E acetate 59-02-9,
.alpha.-Tocopherol 1406-18-4, Vitamin
E 6829-55-6, Tocotrienol

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (microemulsion preconcs. contg. cyclosporins in solvents contg.
hydrophobic and hydrophilic compds. with surfactants)

L107 ANSWER 30 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:231064 HCAPLUS

DN 126:216668

TI Method for preparing solid dispersions of pharmaceutical forms
 IN Duclos, Roselyne; Terracol, Didier
 PA Laboratoires Effik, Fr.; Duclos, Roselyne; Terracol, Didier
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2

DT Patent
 LA French

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9704749	A1	19970213	WO 1995-FR1009	19950727
	W: AU, BR, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, US, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	FR 2722984	A1	19960202	FR 1994-9227	19940726
	FR 2722984	B1	19961018		
	AU 9530829	A1	19970226	AU 1995-30829	19950727
	JP 10505574	T2	19980602	JP 1995-525287	19950727
	EP 761208	A1	19970312	EP 1996-401602	19960718
	R: AT, BE, CH, DE, DK, ES, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	FI 9602978	A	19970120	FI 1996-2978	19960726
PRAI	FR 1994-9227		19940726		
	WO 1995-FR1009		19950727		
AB	Solid dispersion of medicinal agents in a hydrophilic carrier agent are provided, wherein the active principle is dissolved in a volatile org. solvent contg. a highly hydrophilic cyclic amide, and the org. soln. is sprayed and dried to give a coppt. that is crushed, screened and dild. with an excipient or a pharmaceutically acceptable carrier. The coppt. is useful as a starting material for prepg. drugs. Progesterone 1, PVP 3.95 g, 5 g/L Polysorbate 80 in ethanol, and abs. ethanol 80 mL were stirred, then the solvent was evapd. to obtain the coppt. of the invention.				
IT	872-50-4, <u>n-Methylpyrrolidone</u> , biological studies 49562-28-9, <u>Fenofibrate</u> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method for prepg. solid dispersions of pharmaceutical forms)				

L107 ANSWER 31 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:215722 HCAPLUS

DN 126:203719

TI New galenic formulations of **fenofibrate** with good bioavailability

IN Laruelle, Claude

PA Cl Pharma, Fr.

SO Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 757911	A1	19970212	EP 1996-401614	19960719
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, PT				
	FR 2737121	A1	19970131	FR 1995-9142	19950727
	FR 2737121	B1	19971003		
	CA 2181422	AA	19970128	CA 1996-2181422	19960717
	ZA 9606067	A	19970407	ZA 1996-6067	19960717
	JP 09328427	A2	19971222	JP 1996-196323	19960725
	US 5827536	A	19981027	US 1996-672852	19960725
PRAI	FR 1995-9142	A	19950727		
AB	Oral pharmaceutical formulations of fenofibrate (I) with good bioavailability are prepd. by dissolving I in a nonionic surfactant such as <u>diethylene glycol</u> monoethyl ether (II). Thus 5.0 kg I was dissolved in 74 kg of II and the soln. thus obtained was filled into 100,000 capsules. The dissoln. rate of the above compn. was 99%				

after 40 min.

IT **49562-28-9, Fenofibrate**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new galenic formulations of **fenofibrate** with good
bioavailability)

L107 ANSWER 32 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:85202 HCAPLUS

DN 126:94823

TI Intravitreal microsphere **drug delivery** and method of
preparation

IN Herrero-Vanrell, Rocio; Refojo, Miguel F.

PA Schepens Eye Research Institute, Inc., USA

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9638133	A1	19961205	WO 1996-US8043	19960530
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5718922	A	19980217	US 1995-455091	19950531
	AU 9659545	A1	19961218	AU 1996-59545	19960530
PRAI	US 1995-455091		19950531		
	WO 1996-US8043		19960530		

AB A method of forming microspheres contg. a hydrophilic drug or agent for injection to provide localized treatment over a protracted time with sustained delivery in a therapeutically indicated rate band, is disclosed. The drug or agent is first dispersed or suspended as a micropulverized solid in an inert **hydrophobic** oil and sonicated with a nonaq. soln. of a biodegradable polymer. The dispersion is then stabilized in a second oil to remove solvent from the microspheres. Nonaq. solvents are used throughout, and high drug concns. are obtained simultaneously with enhanced control over a uniform and sustained delivery rate with extended duration of delivery. In vitro studies of ganciclovir in a silicone oil/fluorosilicone oil/PLGA system yield microsphere fractions that provide dose levels in a therapeutic range for cytomegalovirus retinitis from only a single intravitreal injection that lasts substantially in excess of one month. The prepn. method allows drug loading efficiencies above 90 %. By protecting the drug in an inner phase carrier of biocompatible but not biodegradable oil, and forming biodegradable shells and pore-defining foliations within the microspheres, the rate of control of solvent erosion pathways into the microspheres is extended, and selection of the polymer and of the oils allow control over both the delivery rate and time.

IT **59-02-9, .alpha.-Tocopherol**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(encapsulation of drugs in microspheres for intravitreal injection)

L107 ANSWER 33 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:713043 HCAPLUS

DN 125:339083

TI **Emulsion** suitable for administering a poorly water-soluble
photosensitizing compound and use thereof

IN Lyons, Robert T.

PA Pharmacia Ab, Swed.; Pdt, Inc.

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9632094	A1	19961017	WO 1996-US4971	19960410

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

US 5616342 A 19970401 US 1995-419911 19950411

ZA 9602854 A 19961011 ZA 1996-2854 19960410

CA 2217573 AA 19961017 CA 1996-2217573 19960410

AU 9655401 A1 19961030 AU 1996-55401 19960410

AU 706848 B2 19990624

EP 825851 A1 19980304 EP 1996-912671 19960410

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 11502520 T2 19990302 JP 1996-527094 19960410

NO 9704615 A 19971006 NO 1997-4615 19971006

PRAI US 1995-419911 19950411

WO 1996-US4971 19960410

AB Emulsions comprising a lipoid as a **hydrophobic** phase dispersed in a hydrophilic phase, a poorly water-sol. photosensitizing compd., surfactant, and as a cosurfactant a salt of a bile acid is provided that is suitable for administering to a patient.

IT **59-02-9, .alpha.-Tocopherol**

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(emulsions for administering a poorly water-sol. photosensitizer)

L107 ANSWER 34 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:664746 HCAPLUS

DN 125:284974

TI Mechanically stable, solid pharmaceutical dosage forms

IN Spengler, Reinhard; Rosenberg, Joerg; Breitenbach, Joerg

PA BASF A.-G., Germany

SO Ger. Offen., 9 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19511131	A1	19961002	DE 1995-19511131	19950327
	WO 9629994	A1	19961003	WO 1996-EP1156	19960318
	W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, SG, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9651453	A1	19961016	AU 1996-51453	19960318
PRAI	DE 1995-19511131		19950327		
	WO 1996-EP1156		19960318		
AB	The title dosage forms are composed of .gtoreq.1 drugs and .gtoreq.1 polymers and possess a single- or multilayered coating characterized by contg. only 0-15% of plasticizer. Stable tablet formulations of acetylsalicylic acid, fenofibrate , naftidrofuryl, ibuprofen, furosemide, anipamil, and indomethacin, based on this procedure, are presented.				
IT	25322-68-3, Polyethylene glycol				
	RL: POF (Polymer in formulation); USES (Uses)				
	(prepn. of mech. stable pharmaceutical tablets based on)				
IT	49562-28-9, Fenofibrate				
	RL: PEP (Physical, engineering or chemical process); PROC (Process)				
	(prepn. of mech. stable, solid dosage form of)				

L107 ANSWER 35 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:557948 HCAPLUS

DN 125:204556

TI Pharmaceutical compositions containing **fenofibrate** and **vitamin E**

IN Edgar, Alan D.; Bellamy, Francois
 PA Laboratoires Fournier S.C.A., Fr.
 SO Eur. Pat. Appl., 11 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 724877	A1	19960807	EP 1996-400133	19960119
	EP 724877	B1	20000628		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	FR 2730231	A1	19960809	FR 1995-1216	19950202
	FR 2730231	B1	19970404		
	AT 194078	E	20000715	AT 1996-400133	19960119
	ES 2148694	T3	20001016	ES 1996-400133	19960119
	JP 08253416	A2	19961001	JP 1996-47875	19960129
	US 5880148	A	19990309	US 1996-594658	19960202
PRAI	FR 1995-1216	A	19950202		

AB The title compns. are useful as anti-atheroma medication for protection of low d. lipoproteins of plasma against oxidn. A capsule contained micronized **fenofibrate** (I) 200, sodium lauryl sulfate 4 mg, and excipients. A capsule contained D1-.**alpha**-.**tocopherol** **acetate** (II) 200 mg, and excipients. Oral administration of 37 mg I/kg and 55 mg II/kg to rats decreased the total cholesterol, **phospholipids**, and triglycerides. 2w

IT 58-95-7, D-.**alpha**-.**Tocopherol** **acetate**
 59-02-9, D-.**alpha**-.**Tocopherol**
 1406-18-4, **Vitamin E** 49562-28-9,
Fenofibrate

RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical compns. contg. **fenofibrate** and
vitamin E)

L107 ANSWER 36 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:546344 HCAPLUS

DN 125:177451

TI Pharmaceutical composition containing **fenofibrate** and polyglycols and glycerides

IN Deboeck, Arthur M.; Baudier, Philippe; Maes, Paul J.

PA Galephar P.R. Inc., P. R.

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9621439	A1	19960718	WO 1996-BE2	19960110
	W: AL, AM, AT, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
	US 5545628	A	19960813	US 1995-370883	19950110
	CA 2210985	AA	19960718	CA 1996-2210985	19960110
	AU 9643808	A1	19960731	AU 1996-43808	19960110
	EP 801562	A1	19971022	EP 1996-900209	19960110
	R: BE, DE, ES, FR, GB, IT				
	JP 10511959	T2	19981117	JP 1996-521332	19960110
PRAI	US 1995-370883		19950110		
	WO 1996-BE2		19960110		

AB A pharmaceutical compn. is provided for treating hyperlipidemia or hypercholesterolemia or both in a mammal, contains an effective amt. of

each of **fenofibrate** and an excipient contg. one or more polyglycol and glycerides. Thus, **fenofibrate** 6.7, Gelucire 44/14 5.0, and Poloxamer 407 5.0 kg were mixed and filled into capsules of size 3. Each capsule contained 67 mg **fenofibrate**.

IT **49562-28-9, Fenofibrate**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(pharmaceutical contg. **fenofibrate** and polyglycol and glycerides)

L107 ANSWER 37 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:440899 HCAPLUS

DN 125:96040

TI Immunogenic compositions solubilised in a **hydrophobic** solvent

IN New, Roger Randal Charles

PA Cortecs Limited, UK

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614871	A1	19960523	WO 1995-GB2675	19951114
	W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2205083	AA	19960523	CA 1995-2205083	19951114
	AU 9538534	A1	19960606	AU 1995-38534	19951114
	AU 700910	B2	19990114		
	EP 792165	A1	19970903	EP 1995-936690	19951114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1163575	A	19971029	CN 1995-196259	19951114
	JP 10508834	T2	19980902	JP 1995-515847	19951114
	FI 9702054	A	19970514	FI 1997-2054	19970514
	NO 9702219	A	19970711	NO 1997-2219	19970514
PRAI	GB 1994-22990		19941115		
	WO 1995-GB2675		19951114		

AB An immunogenic compn. comprising an immunogen solubilised, or otherwise distributed, in a **hydrophobic** solvent in the absence of a hydrophilic phase. Preferably, the immunogenic compn. is provided as an oral vaccine. Thus, 40 .mu.L of tetanus toxoid (5 mg/mL) was added to 1 mL dispersion of 100 mg/mL soya phosphatidyl choline and the mixt. was lyophilized overnight, followed by addn. of 1 mL of oleic acid to obtain a crystal clear soln. Mice were administered 100 .mu.L of above soln. either s.c. or through an intragastric tube. Antibody levels against tetanus antigen after two wk was much more than controls.

IT **59-02-9, .alpha.-Tocopherol**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunogenic compns. solubilised in a **hydrophobic** solvent)

L107 ANSWER 38 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:439609 HCAPLUS

DN 125:123551

TI Characterization and dissolution studies of **PEG 4000/ fenofibrate** solid dispersions

AU Palmieri, G. F.; Antonini, I.; Martelli, S.

CS Fac. Farmacia, Univ. Camerino, Camerino, 62032, Italy

SO S.T.P. Pharma Sci. (1996), 6(3), 188-194

CODEN: STSSE5; ISSN: 1157-1489

DT Journal

LA English
AB Solid dispersions of **fenofibrate** in PEG 4000 are
prepd. by the solvent and fusion methods. The binary systems are
successively studied and characterized using differential scanning
calorimetry, X-ray diffractometry and Fourier transform IR spectroscopy.
Dissoln. studies of the solid dispersed powders were performed to verify
the water soly. improvement of the **fenofibrate** present in the
formulations.

IT 25322-68-3, PEG 49562-28-9,
Fenofibrate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(characterization and dissoln. studies of PEG 4000/
fenofibrate solid dispersions)

L107 ANSWER 39 OF 55 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:363679 HCAPLUS
DN 125:41669
TI Effect of bilayer additives on encapsulation of steroids in MLV
liposomes
AU Kulkarni, S. B.; Vargha-Butler, E. I.
CS College of Pharmacy, Dalhousie Univ., Halifax, NS, B3H 3J5, Can.
SO Int. J. Pharm. Adv. (1996), 1(4), 408-413
CODEN: IJPAFT; ISSN: 1082-3093
DT Journal
LA English
AB Most **hydrophobic** steroids can be incorporated by liposomes
within their lipid bilayers. To enhance stability of the lipid membranes,
2 bilayer additives, namely cholesterol (Chol) and **.alpha.-**
tocopherol (Toco), are usually added to the liposomes. The effect
of the concn. of these additives on the encapsulation of 2 steroids,
hydrocortisone and triamcinolone in multilamellar (MLV) liposomes has been
studied. Both additives have similar effects on the encapsulated HC and
TRM. At lower concns. of additives, the encapsulation of steroids was
unaffected, whereas, the increase in the additive content slightly
decreased the encapsulation of **hydrophobic** steroids.

IT 59-02-9, **.alpha.-Tocopherol**
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(bilayer additives effect on encapsulation of steroids in liposomes)

L107 ANSWER 40 OF 55 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:58395 HCAPLUS
DN 124:156017
TI Stable microemulsions for hydrophobic compound
delivery
IN Wheeler, Jeffery J.; Bally, Marcel B.
PA Inex Pharmaceuticals Corp., Can.
SO U.S., 16 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5478860	A	19951226	US 1993-71724	19930604

AB Microemulsion compns. for the delivery of hydrophobic compds.
comprise a mixt. of an oil, a **hydrophobic** compd., and a
polyethylene glycol-linked lipid and the mixt. is surrounded by a
monolayer of a polar lipid. Uses of the compns. include the in vitro
testing of **hydrophobic** compds. for cytotoxicity and in vivo
diagnostic and therapeutic purposes. An emulsion was prepd. from taxol 10
mg, corn oils 150 mg, monomethoxypolyethylene glycol succinate-2000-
distearoylphosphatidylethanolamine 20 mg, and egg phosphatidylcholine 40
mg. Characteristics of the taxol particles were studied and also, in vivo
toxicity studies were performed with mice.

L107 ANSWER 41 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1995:989492 HCAPLUS

DN 124:97523

TI **.alpha.-Tocopherol** encapsulated in liposomes

AU Mojovic, Ljiljana; Siler-Marinkovic, Salvica; Zaharijev, Jasna; Bugarski, Branko

CS Faculty of Tecnology Metallurgy, Belgrade, Yugoslavia

SO Hem. Ind. (1995), 49(10), 420-3

CODEN: HMIDA8; ISSN: 0367-598X

DT Journal

LA English

AB The liposome encapsulation efficiency of **.alpha.-**

tocopherol, **hydrophobic** drug, was studied by comparing two methods: (a) the dry film (DFM) and (b) the solvent infusion method (SIM) using soya phospholipids. The percent encapsulation achieved (88-93%) suggested a high affinity of **.alpha.-tocopherol** for liposome membrane encapsulation. The initial concn. of **.alpha.-tocopherol** had a significant effect on the degree of encapsulation, while the effect of the method used was less pronounced. In general, higher degree of encapsulation was achieved with smaller liposome size fraction. Based on the exptl. obtained size distribution function, it can be concluded that if smaller liposomes are preferred, the SIM seems to be more efficient due to a higher content of fraction with smaller vesicles.

IT 59-02-9, **.alpha.-Tocopherol**

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(**tocopherol** encapsulated in liposomes)

L107 ANSWER 42 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1995:934173 HCAPLUS

DN 123:322141

TI **Emulsified drug delivery** systems

IN Rudnic, Edward M.; McCarty, John A.; Burnside, Beth A.; McGuinness, Charlotte M.; Belenduik, George W.

PA Pharmavene, Inc., USA

SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9525504	A1	19950928	WO 1995-US3393	19950317
	W: AU, CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2185803	AA	19950928	CA 1995-2185803	19950317
	AU 9521024	A1	19951009	AU 1995-21024	19950317
	AU 696855	B2	19980917		
	EP 788346	A1	19970813	EP 1995-913757	19950317
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09510712	T2	19971028	JP 1995-524733	19950317
	US 5952004	A	19990914	US 1995-424521	19950828
PRAI	US 1994-210351		19940318		
	WO 1995-US3393		19950317		

AB A pharmaceutical prepn. comprises a stable, surface-active emulsion or dispersion of a pharmaceutical agent incorporated into an emulsion (i) having a **hydrophobic** discontinuous phase of a long-chain carboxylic acid or ester or alc. thereof dispersed in an aq. phase or (ii) having a hydrophilic discontinuous phase dispersed in a **hydrophobic** phase of a long-chain carboxylic acid or alc. thereof. For example, an emulsion contg. cyclosporin 5, medium-chain mono- and diglycerides 17, polysorbate-80 5, oleyl alc. 2-10 and water to 100% can be incorporated into any suitable oral delivery dosage forms.

IT 59-02-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(emulsified oral delivery systems for biol. active compds.)

L107 ANSWER 43 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1995:846861 HCAPLUS

DN 123:237884

TI Multilamellar **drug delivery** systems for improved bioavailability

IN Belenduik, George W.; Rudnic, Edward M.; McCarty, John A.

PA PharmaVene, Inc., USA

SO U.S., 6 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5447729	A	19950905	US 1994-224340	19940407
	WO 9527479	A1	19951019	WO 1995-US4036	19950407
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2187202	AA	19951019	CA 1995-2187202	19950407
	AU 9522760	A1	19951030	AU 1995-22760	19950407
	AU 695053	B2	19980806		
	EP 754031	A1	19970122	EP 1995-916160	19950407
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09511744	T2	19971125	JP 1995-526391	19950407
PRAI	US 1994-224340		19940407		
	WO 1995-US4036		19950407		
AB	A pharmaceutical prepn. includes a pharmaceutical agent incorporated into particles comprising (i) a core formed from a hydrophilic material, a hydrophobic material or a hydrophobic emulsion or dispersion and (ii) an alternating sequence of hydrophilic/ hydrophobic layers thereon such that there is a hydrophilic/ hydrophobic interface between the core and each succeeding layer. The compn. provides enhanced absorption capabilities for oral delivery of peptide drugs and drugs that are poorly sol. in aq. media. The hydrophobic materials are preferably selected from the group consisting of long-chain carboxylic acids, esters, alcs., and mixts. thereof. An emulsion contg. somatostatin 15, PEG-4000 20, PEG-8000 20, Polysorbate-80 5, and oleic acid 40% was filled into capsules.				
IT	59-02-9, D-.alpha.-Tocopherol RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (multilamellar drug delivery systems for improved bioavailability)				

L107 ANSWER 44 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1995:733494 HCAPLUS

DN 123:152911

TI **Hydrophobic drug delivery** systems for enhanced absorptions of poorly soluble drugs

IN Rudnic, Edward M.; McCarty, John A.; Belenduik, George W.

PA Pharmavene, Inc., USA

SO U.S., 4 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5430021	A	19950704	US 1994-210014	19940318
	WO 9525505	A1	19950928	WO 1995-US3212	19950315
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2185802	AA	19950928	CA 1995-2185802	19950315
	AU 9519925	A1	19951009	AU 1995-19925	19950315
	AU 703950	B2	19990401		
	EP 750494	A1	19970102	EP 1995-912914	19950315

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 09510708 T2 19971028 JP 1995-524702 19950315
PRAI US 1994-210014 19940318
WO 1995-US3212 19950315

AB Polypeptides and org. mols. that are poorly sol. in aq. media are incorporated into **hydrophobic** particles comprised of long-chain carboxylic acid esters in a dosage form suitable for oral administration. The **hydrophobic** carrier systems provide enhanced absorption capabilities for oral delivery of the drugs. For example, a mixt. contg. calcitonin 10, glyceryl monostearate 20, glyceryl ricinoleate 5, microcryst. cellulose 60, and SiO₂ 5% was prilled into particles and the particles were suitable to be enclosed within an enteric-coated tablet or capsule.

IT 9002-96-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(particles contg. poorly water-sol. drugs and **hydrophobic** carriers for enhanced absorption)

L107 ANSWER 45 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1994:143963 HCAPLUS

DN 120:143963

TI Characterization and dissolution of **fenofibrate** solid dispersion systems

AU Sheu, Ming Thau; Yeh, Ching Min; Sokoloski, Theodore D.

CS Grad. Inst. Pharm. Sci., Taipei Med. Coll., Taipei, Taiwan

SO Int. J. Pharm. (1994), 103(2), 137-46

CODEN: IJPHDE; ISSN: 0378-5173

DT Journal

LA English

AB In this study, solid dispersion systems of the sparingly water-sol. drug, **fenofibrate**, in PEG 6000 and PVP were prep'd. and characterized. The effect of particle size of solid dispersion on the dissoln. rate was also exam'd. in ethanolic media at 2 stirring rates. DSC studies showed that **fenofibrate** dissolved in the melt of PEG 6000 but not in PVP. Also, no transformation of the cryst. form of **fenofibrate** during the prepn. of solid dispersions in these two carriers was obs'd. using various methods. Furthermore, there was no indication of complex formation between **fenofibrate** and PEG 6000 from equil. soly. expts. An enhancing effect of increasing the proportion of PEG 6000 was achieved only for large particles when using a medium contg. 60% ethanol with stirring at 100 rpm. However, in the same medium but with stirring at 50 rpm, the dissoln. rate was reduced with the decreasing particle size. As expected, the decrease in drug soly. in the medium contg. 40 or 50% of EtOH slowed down the dissoln. rate of **fenofibrate** from the PEG 6000 solid dispersions, and the dissoln. rate was also dependent on the particle size. The dissoln. rate of **fenofibrate** from the phys. mixt. was slower than that from the solid dispersion, and decreased with increasing proportion of PEG 6000 incorporated and with decreasing particle size. No evidence of a storage effect was obtained.

IT 25322-68-3, PEG 6000

RL: BIOL (Biological study)
(solid dispersions with **fenofibrate**, characterization and dissoln. of)

IT 49562-28-9, **Fenofibrate**

RL: BIOL (Biological study)
(solid dispersions, characterization and dissoln. of)

L107 ANSWER 46 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1993:678770 HCAPLUS

DN 119:278770

TI Oil in water pharmaceutical and cosmetic **emulsions** of positively charged particles

IN Benita, Simon; Elbaz, Efrat

PA Yissam Research Development Co., Israel

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9318852	A1	19930930	WO 1993-US2303	19930315
	W: AT, AU, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, MG, MN, MW, NL, NO, PL, PT, RO, RU, SE, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	IL 101241	A1	19971120	IL 1992-101241	19920316
	AU 9343683	A1	19931021	AU 1993-43683	19930315
	AU 670443	B2	19960718		
	EP 630286	A1	19941228	EP 1993-913771	19930315
	EP 630286	B1	19990728		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07504848	T2	19950601	JP 1993-516641	19930315
	AT 182485	E	19990815	AT 1993-913771	19930315
	ES 2134850	T3	19991016	ES 1993-913771	19930315
	US 6007826	A	19991228	US 1996-730577	19961015
PRAI	IL 1992-101241		19920316		
	WO 1993-US2303		19930315		
AB	Oil in water emulsion useful as a delivery vehicle of hydrophobic ingredients such as pharmaceutical and cosmetic compns. wherein the emulsion particles have a net pos. charge, e.g. a pos. zeta potential, are disclosed. An emulsion contained medium-chain triglyceride 8.0, Lipoid E-80 1.0, .alpha.-tocopherol 0.2, Pluronic F-68 2.0, stearylamine 0.4, glycerin 2.25, and water to 100%. The mean particle size and zeta potential of the emulsion was 143nm, and +21.80mV, resp.				
IT	59-02-9, .alpha.-Tocopherol RL: BIOL (Biological study) (oil in water cosmetic and pharmaceutical emulsions contg., pos. charged)				

L107 ANSWER 47 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1993:610455 HCAPLUS

DN 119:210455

TI Solubilization and stabilization of an anti-HIV thiocarbamate, NSC 629243, for parenteral delivery, using extemporaneous **emulsions**

AU Strickley, Robert G.; Anderson, Bradley D.

CS Coll. Pharm., Univ. Utah, Salt Lake City, UT, 84112, USA

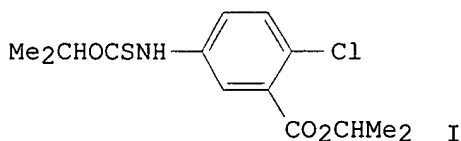
SO Pharm. Res. (1993), 10(7), 1076-82

CODEN: PHREEB; ISSN: 0724-8741

DT Journal

LA English

GI



AB The O-alkyl-N-aryl thiocarbamate, NSC 629243 (I), also known as Uniroyal Jr., is an exptl. anti-HIV drug with very low water soly. (1.5 $\mu\text{g/mL}$). Early clin. studies required an injectable soln. at $\text{apprx. } 15 \text{ mg/mL}$, representing a soly. increase of $\text{apprx. } 104\text{-fold}$. Adequate solubilization of this **hydrophobic** drug was achieved in 20% lipid emulsions. Extemporaneous emulsions were prepd. by adding a concd. drug soln. to a com. available parenteral emulsion. Various methods of prepn. to minimize drug pptn. during its addn. and enhance redissoln. of pptd. drug were evaluated. The stability and mechanism(s) of decompn. of

I in both 20% lipid emulsions and in natural oil vehicles were examd. In lipid emulsions, the shelf life at 25.degree.C varied from 1 to >10 wk, depending on the extent to which air was excluded from the prepn. The shelf life of 50 mg/mL solns. in natural oils at 25.degree. varied from <1 to >100 days depending on the oil and its supplier. A qual. correlation was found between the initial rate of oxidn. and the peroxide concn. in the oil. The primary degrdn. product in both systems was shown to be a disulfide dimer (II), formed via oxidn. Oxidn. was inhibited by vacuum-sealing of emulsion formulations or incorporation of an oil-sol. thiol, thioglycolic acid (TGA), into oil formulations. TGA may inhibit oxidn. by consuming free radicals or peroxide initiators or by reacting with the disulfide, II, to regenerate the starting drug.

IT **1406-18-4, Vitamin E**

RL: BIOL (Biological study)

(parenteral emulsion for thiocarbamate NSC-629243 contg., as antioxidant)

L107 ANSWER 48 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1989:580748 HCAPLUS

DN 111:180748

TI Pharmaceutical gelatin capsules containing ammonium compounds or sulfides to prevent tanning by the encapsulated material, especially **fenofibrate**

IN Buri, Pierre; Mikler, Claude; Barthelemy, Philippe

PA SANOFI, Fr.; Laboratoires Fournier

SO Fr. Demande, 13 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2617047	A1	19881230	FR 1987-8828	19870623
	FR 2617047	B1	19910510		

AB A compn. for the prepn. of gelatin capsules that resist tanning by the encapsulated materials is characterized in that the gelatin contains an ammonium compd. and/or a sulfite. An aq. soln. of 30 g lime-treated bone gelatin (isoelec. point 4.9, 245 bloom) was dissolved at 40.degree. and 2.72N aq. NH4OH was added to pH 6 and the soln. was used to prep. samples that were placed in an aq. soln. either free of HCHO or contg. 500 ppm HCHO. The amt. gelatin that dissolved was 95%, whereas the dissolved amt. in a gelatin sample free of NH4OH was 88.2%. Samples prepd. from gelatin and NaHSO3 were stored in **PEG-400** contg. 100 or 500 ppm formal with resp. to gelatin (introduced as a 27% aq. soln.) for 10 days and the samples did not become brittle. A soln. contg. 40 g/L lime-treated, demineralized gelatin (isoelec. point 5, 266 bloom) was adjusted to pH 6.52 at 60.degree. by the addn. of NH4OH. The gelatin was cooled, dried, pulverized, and transformed into gelatin capsules. The capsules were filled with a mixt. contg. **fenofibrate** (100 mg), dimethylisobutylate (0.28 mL), Labrafil M-1944 CS (0.12 mL), and Aerosil (0.16 mL); the aldehyde residue in the excipients (dimethylisobutylate, Labrafil M-1944 CS, and Aerosil) was >200 ppm. The time required to release 50% of active agent from the freshly prepd. capsules was 7 min; after storage for 1 mo at 37.degree. it was 22 min. The time required to release 50% of active agent from the freshly prepd. comparable nontreated capsules was 7 min; after storage for 1 mo at 37.degree. it was 78 min. The formulations thus preserve the soly. properties of the capsules.

IT **49562-28-9, Fenofibrate**

RL: BIOL (Biological study)

(tanning-resistant pharmaceutical gelatin capsules contg.)

L107 ANSWER 49 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1988:597197 HCAPLUS

DN 109:197197

TI Pharmaceutical **fenofibrate** granules with improved solubility

IN Boyer, Jean Francois

PA Ethypharm S.a.r.l., Fr.
 SO Eur. Pat. Appl., 5 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 256933	A1	19880224	EP 1987-401824	19870806
	EP 256933	B1	19920513		
	R: AT, BE, CH, DE, ES, GB, GR, IT, LI, LU, NL, SE				
	FR 2602423	A1	19880212	FR 1986-11540	19860808
	FR 2602423	B1	19890505		
	ZA 8705758	A	19880427	ZA 1987-5758	19870804
	AU 8776603	A1	19880211	AU 1987-76603	19870805
	AU 601462	B2	19900913		
	CA 1293194	A1	19911217	CA 1987-543882	19870806
	AT 75940	E	19920515	AT 1987-401824	19870806
	ES 2041699	T3	19931201	ES 1987-401824	19870806
	DK 8704118	A	19880209	DK 1987-4118	19870807
	NO 8703320	A	19880209	NO 1987-3320	19870807
	NO 174876	B	19940418		
	NO 174876	C	19940727		
	JP 63048212	A2	19880229	JP 1987-196510	19870807
	JP 2571693	B2	19970116		
	US 4800079	A	19890124	US 1987-83409	19870810
	US 4961890	A	19901009	US 1988-256836	19881012
PRAI	FR 1986-11540		19860808		
	EP 1987-401824		19870806		
	US 1987-83409		19870810		

AB A medication contains **fenofibrate** granules; the granules are composed of a neutral core which is covered with a thin layer of **fenofibrate** and a protective layer; the **fenofibrate** is in the form of microcrystals of <50 , preferably < 30 , and most preferably < 10 .mu.m. Nougat cores of 0.3-0.6 mm diam. were milled from saccharose 73 and starch 27 kg in water 23 kg; the dried cores were sprayed with an EtOH soln. of 12.5% methacrylic polymer, which made the granules wet and sticky. The sticky cores were sprayed with **fenofibrate** powder (88% < 5.mu.m) and dried rapidly to avoid dissoln. of the **fenofibrate**; the milling, spraying, drying procedure was repeated until all the **fenofibrate** powder was incorporated, and the granules were coated with 1 wt.% of a protective final covering of methacrylic polymer. After 1 h in HCl-saline (pH 1.5) at 37.degree., >65% of the **fenofibrate** was released from the granules.

IT 25322-68-3D, **Polyethylene glycol**, derivs.

RL: USES (Uses)

(pharmaceutical granule contg. **fenofibrate** and, for improved **fenofibrate** soly.)

IT 49562-28-9, **Fenofibrate**

RL: BIOL (Biological study)

(pharmaceutical granules, with improved soly. and bioavailability)

L107 ANSWER 50 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1988:534974 HCAPLUS

DN 109:134974

TI **Hydrophobic** and porous micropowders for the control of pharmaceutical odor

IN Sawaguchi, Mareyoshi; Tomita, Toshihiko; Moroishi, Yutaka; Noda, Ken

PA Nitto Electric Industrial Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI JP 62252718 A2 19871104 JP 1986-95802 19860424

AB The odor of pharmaceutical such as l-menthol is controlled by using **hydrophobic**, porous microparticles as the drug carriers. l-Menthol 5, d-camphor 5, Me salicylate 10, monoglycol salicylate 5, and dl-**.alpha.-tocopherol** 1 g were mixed with 100 g polystyrene-divinylbenzene copolymer beads (surface area:200 m2/g, particle diam. 8 .mu.m); the soln. was sealed, heated up to 80.degree., and stirred 1 h. To this were added styrene-isoprene-styrene block copolymer rubber 10, resin 10, and paraffin 30 g. The soln. was treated again in a sealed container at 160.degree.. The mixt. was spread 10 .mu.m thick over an unwoven sheet to give a transdermal tape.

L107 ANSWER 51 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1987:201766 HCAPLUS

DN 106:201766

TI Pharmaceutical **microemulsions**

IN Vigne, Jean Louis; Kane, John P.

PA California Biotechnology, Inc., USA

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8701035	A1	19870226	WO 1986-US1671	19860813
	W: AU, JP, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 5023271	A	19910611	US 1985-765359	19850813
	AU 8662818	A1	19870310	AU 1986-62818	19860813
	AU 590614	B2	19891109		
	EP 232407	A1	19870819	EP 1986-905506	19860813
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 63500456	T2	19880218	JP 1986-504554	19860813
PRAI	US 1985-765359		19850813		
	US 1985-765369		19850813		
	WO 1986-US1671		19860813		

AB A method for parenteral administration of fat-sol. pharmaceuticals and vitamins uses microemulsions composed of a naturally occurring amphipathic substance and a **hydrophobic** lipid along with the active ingredient. Levels of the active ingredient in the various lipoprotein fractions of serum appear to mimic the natural distribution of the administered drug if taken orally. A mixt. of 5 mg **.alpha.-tocopherol** in EtOH, 40 mg soybean oil, and 55 mg egg yolk phosphatidylcholine in EtOH was evapd. to dryness, resuspended in saline-phosphate buffer, and sonicated. The emulsion was sepd. in fractions by discontinuous sucrose gradient ultracentrifugation. A fraction was obtained which had an av. d. of 1.010 g/mL and an av. pseudomicelle diam. of 840 .ANG.; the particles contained **.alpha.-tocopherol** 5.3, lecithin 17.4, and triglyceride 77.3%.

IT 59-02-9, **.alpha.-Tocopherol** 1406-70-8
, **Tocopherol** acetate 2074-53-5 52225-20-4,
dl-**.alpha.-Tocopherol** acetate
RL: BIOL (Biological study)
(pseudomicelles contg., in pharmaceutical microemulsion)

L107 ANSWER 52 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1987:201765 HCAPLUS

DN 106:201765

TI **Emulsion** compositions containing ion pair-forming agents for administration of sparingly water soluble ionizable **hydrophobic** drugs

IN Desai, Narendra Raghunthji; Carpentier, Eugene Albert; Ganesan, Madurai; Shinal, Edward Charles

PA American Cyanamid Co., USA

SO Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 214501	A2	19870318	EP 1986-111325	19860816
	EP 214501	A3	19870909		
	EP 214501	B1	19920923		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4816247	A	19890328	US 1985-774762	19850911
	AT 80795	E	19921015	AT 1986-111325	19860816
	CA 1272685	A1	19900814	CA 1986-517737	19860909
	AU 8662538	A1	19870312	AU 1986-62538	19860910
	AU 593014	B2	19900201		
	FI 8603664	A	19870312	FI 1986-3664	19860910
	FI 86142	B	19920415		
	FI 86142	C	19920727		
	DK 8604325	A	19870312	DK 1986-4325	19860910
	NO 8603620	A	19870312	NO 1986-3620	19860910
	NO 171145	B	19921026		
	NO 171145	C	19930203		
	ZA 8606899	A	19870527	ZA 1986-6899	19860910
	HU 43948	A2	19880128	HU 1986-3901	19860910
	HU 196700	B	19890130		
	ES 2001950	A6	19880701	ES 1986-1758	19860910
	JP 62111915	A2	19870522	JP 1986-214969	19860911
PRAI	US 1985-774762		19850911		
	EP 1986-111325		19860816		

AB A system for delivery of a **hydrophobic** drug comprises a quick-breaking oil-water emulsion contg. a surfactant, a cosurfactant, and an ion-pair former to solubilize the drug. An ion-pair was formed between bisantrene base 0.5 and oleic acid (which functions also as a cosurfactant) 4.0 parts, and the product was emulsified with soybean oil 10.0, soyl lecithin (cosurfactant) 1.6, Emulphor EL-620P 1.0, dl-**alpha.-tocopherol** 0.05, glycerin 2.25, and water to 100 parts.

L107 ANSWER 53 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1986:116113 HCAPLUS

DN 104:116113

TI Lipid nanopellent oral drug formulation

IN Speiser, Peter

PA Rentschler, Dr., Arzneimittel G.m.b.H. und Co., Fed. Rep. Ger.

SO Ger. Offen., 35 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3421468	A1	19851219	DE 1984-3421468	19840608
	EP 167825	A2	19860115	EP 1985-106926	19850604
	EP 167825	A3	19870121		
	EP 167825	B1	19900808		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 55243	E	19900815	AT 1985-106926	19850604
	JP 61056122	A2	19860320	JP 1985-120726	19850605
	US 4880634	A	19891114	US 1987-66459	19870626
PRAI	DE 1984-3421468		19840608		
	EP 1985-106926		19850604		
	US 1985-740771		19850630		

AB Lipid nanopellets (80-800 nm), as aq. colloidal suspensions, are carrier systems for oral drugs. The lipids are satd. fatty acids, their esters with **glycerol** and with other polyalcs., and fatty alcs. The

system contains natural or artificial surfactants. Thus, a mixt. of 2 g tristearin and 0.6 g testosterone undecanoate was melted at 85.degree. and 0.4 g phospholipon 100-H in 4 mL CHCl₃ was added. The CHCl₃ was evapd. and 0.04 Na cholate in 200 mL water was added, followed by stirring and ultrasonication, to give the nanopellet suspension.

IT 49562-28-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipid nanopellets, for oral administration as aq. colloidal emulsion)

IT 57-55-6D, esters with fatty acids 107-21-1D, esters with fatty acids

RL: BIOL (Biological study)
(pharmaceutical nanopellets from, for oral administration)

L107 ANSWER 54 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1982:428538 HCAPLUS

DN 97:28538

TI Enhancement of bioavailability of a hydrophobic-fluorenemethanol
antimalarial by oleic acid in soft gelatin capsules

AU Wang, Yunling; Ding, Deben; Ding, Jianxin

CS Microb. Epidemics Inst., Acad. Mil. Med., Peop. Rep. China

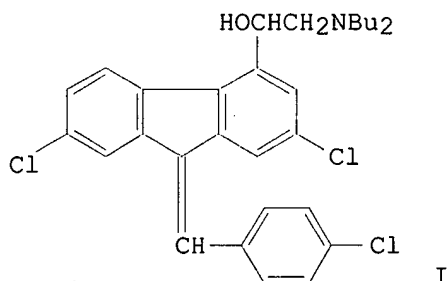
SO Yaoxue Tongbao (1982), 17(1), 4-7

CODEN: YHTPAD; ISSN: 0512-7343

DT Journal

LA Chinese

GI



AB Antimalarial .alpha.-(dibutylaminomethyl)-.alpha.-[2,7-dichloro-9-(4-chlorobenzylidene)-4-fluorenyl]methanol (I) [82186-77-4] was highly sol. in oleic acid [112-80-1] or linoleic acid [60-33-3] (>350 mg I/mL), but the soly. of I in water was extremely low (.apprx.1 .mu.g I/mL). An aq. soln. of I was barely absorbable. Thus, I soft gelatin capsules with high absorbability were prepd. contg. I 3.5 g, **vitamin E** (antioxidant) 2 mg, Tween 80 (surfactant) 0.6 g and oleic acid or linoleic acid to 10 g.

L107 ANSWER 55 OF 55 HCAPLUS COPYRIGHT 2001 ACS

AN 1977:34184 HCAPLUS

DN 86:34184

TI Study of the possibilities of using bone fat as an ingredient of ointment bases and ointments. VIII. Stabilization of **hydrophobic** ointment bases

AU Draganova, L.

CS Farm. Fak., Med. Akad., Sofia, Bulg.

SO Farmatsiya (Sofia) (1976), 26(3), 21-8

CODEN: FMTYA2

DT Journal

LA Bulgarian

AB Of 7 antioxidants used for stabilization of a lipogel ointment base contg. 50% bone fat, 45% castor oil, and 5% beeswax, 0.20% NDGA [500-38-9] most effectively inhibited autoxidn.

IT 59-02-9

RL: BIOL (Biological study)

(bone fat ointment stabilization by)